

PATENT COOPERATION TREATY

From the
INTERNATIONAL SEARCHING AUTHORITY

PCT

To:

see form PCT/ISA/220

WRITTEN OPINION OF THE
INTERNATIONAL SEARCHING AUTHORITY
(PCT Rule 43bis.1)

Date of mailing
(day/month/year) see form PCT/ISA/210 (second sheet)

Applicant's or agent's file reference
see form PCT/ISA/220

FOR FURTHER ACTION
See paragraph 2 below

International application No.
PCT/EP2004/053562

International filing date (day/month/year)
17.12.2004

Priority date (day/month/year)
19.12.2003

International Patent Classification (IPC) or both national classification and IPC
C07D471/04, C07D493/14

Applicant
ALTANA PHARMA AG

1. This opinion contains indications relating to the following items:

- ☒ Box No. I Basis of the opinion
- ☐ Box No. II Priority
- ☐ Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- ☐ Box No. IV Lack of unity of invention
- ☒ Box No. V Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- ☐ Box No. VI Certain documents cited
- ☐ Box No. VII Certain defects in the international application
- ☐ Box No. VIII Certain observations on the international application

2. **FURTHER ACTION**

If a demand for international preliminary examination is made, this opinion will usually be considered to be a written opinion of the International Preliminary Examining Authority ("IPEA"). However, this does not apply where the applicant chooses an Authority other than this one to be the IPEA and the chosen IPEA has notified the International Bureau under Rule 66.1bis(b) that written opinions of this International Searching Authority will not be so considered.

If this opinion is, as provided above, considered to be a written opinion of the IPEA, the applicant is invited to submit to the IPEA a written reply together, where appropriate, with amendments, before the expiration of three months from the date of mailing of Form PCT/ISA/220 or before the expiration of 22 months from the priority date, whichever expires later.

For further options, see Form PCT/ISA/220.

3. For further details, see notes to Form PCT/ISA/220.

Name and mailing address of the ISA:



European Patent Office
D-80298 Munich
Tel. +49 89 2399 - 0 Tx: 523656 epmu d
Fax: +49 89 2399 - 4465

Authorized Officer

Samsam Bakhtiary, M

Telephone No. +49 89 2399-8556



**WRITTEN OPINION OF THE
INTERNATIONAL SEARCHING AUTHORITY**

International application No.
PCT/EP2004/053562

Box No. I Basis of the opinion

1. With regard to the **language**, this opinion has been established on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.
☐ This opinion has been established on the basis of a translation from the original language into the following language , which is the language of a translation furnished for the purposes of international search (under Rules 12.3 and 23.1(b)).
2. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application and necessary to the claimed invention, this opinion has been established on the basis of:
 - a. type of material:
☐ a sequence listing
☐ table(s) related to the sequence listing
 - b. format of material:
☐ in written format
☐ in computer readable form
 - c. time of filing/furnishing:
☐ contained in the international application as filed.
☐ filed together with the international application in computer readable form.
☐ furnished subsequently to this Authority for the purposes of search.
3. ☐ In addition, in the case that more than one version or copy of a sequence listing and/or table relating thereto has been filed or furnished, the required statements that the information in the subsequent or additional copies is identical to that in the application as filed or does not go beyond the application as filed, as appropriate, were furnished.
4. Additional comments:

**WRITTEN OPINION OF THE
INTERNATIONAL SEARCHING AUTHORITY**

International application No.
PCT/EP2004/053562

Box No. V Reasoned statement under Rule 43*bis*.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes: Claims	1-12
	No: Claims	
Inventive step (IS)	Yes: Claims	
	No: Claims	1-12
Industrial applicability (IA)	Yes: Claims	1-12
	No: Claims	

2. Citations and explanations

see separate sheet

**WRITTEN OPINION OF THE
INTERNATIONAL SEARCHING
AUTHORITY (SEPARATE SHEET)**

International application No.

PCT/EP2004/053562

Re Item V

**Reasoned statement with regard to novelty, inventive step or industrial applicability;
citations and explanations supporting such statement**

1. The following documents (D) are referred to in this communication; the numbering will be adhered to in the rest of the procedure:

- D1: WO 95/27714 A (ASTRA AB ; BRIVING CARIN BIRGITTA (SE); NORDBERG MATS PETER (SE); STAR) 19 October 1995 (1995-10-19)
D2: WO 03/014123 A (BUHR WILM ; ALTANA PHARMA AG (DE); SENN-BILFINGER JOERG (DE)) 20 February 2003 (2003-02-20)
D3: WO 98/54188 A (BYK GULDEN LOMBERG CHEM FAB ; SENN BILFINGER JOERG (DE)) 3 December 1998 (1998-12-03)
D4: US-A-4 468 400 (PUCHALSKI CHESTER ET AL) 28 August 1984 (1984-08-28)
D5: KAMINSKI J J ET AL: "ANTIULCER AGENTS CONFORMATIONAL CONSIDERATIONS AND THE ANTIULCER ACTIVITY OF SUBSTITUTED IMIDAZO 1,2-A PYRIDINES AND RELATED ANALOGUES" JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY. WASHINGTON, US, vol. 32, no. 8, January 1989 (1989-01), pages 1686-1700, XP002008622 ISSN: 0022-2623

2. Novelty

The claimed subject matter is essentially concerned with imidazopyridines useful as intermediates:

- claims 1-8: imidazopyridines intermediates per se (1)
- claims 9,10: process to make intermediate (1)
- claim 11: use of intermediate (1) to make intermediate (4); needs one process step
- claim 12: use of intermediate (1) to make intermediate (1); needs 2 process steps

The intermediate of claims 1-8 seems to be novel, the closest structure is disclosed in D1 (see figure 1, formula III).

Subject matter of claims 9-12 seem also to be novel.

Therefore the claimed subject matter can be recognised as being novel.

3. Inventive step

Document D1, which is considered to represent the most relevant state of the art, discloses (cf. fig. 1, 4th and 5th step) a multistep process via intermediates IV and III) from which the subject-matter of claim 1 and pending claims differs in that the claimed intermediate contains a protecting group (PG) for one hydroxy group.

The problem to be solved by this application can be regarded as an alternative process to make tricyclic imidazopyridin derivatives.

The solution proposed in the claimed subject matter of the present application cannot be considered as involving an inventive step for the following reasons:

The prior art teaches an analogous pathway leading to the tricyclic imidazopyridine derivatives without protecting the hydroxy group directly linked to the heterocycle. This means that the protection is a secondary feature and does not influence the overwhole reaction pathway, therefore inventivity cannot be recognised for the subject matter of claims 1-12.